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LOGINID:SSPTAJRK1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

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NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY  
NEWS 4 OCT 03 MATHDI removed from STN  
NEWS 5 OCT 04 CA/CAPplus-Canadian Intellectual Property Office (CIPO) added  
to core patent offices  
NEWS 6 OCT 13 New CAS Information Use Policies Effective October 17, 2005  
NEWS 7 OCT 17 STN(R) AnaVist(TM), Version 1.01, allows the export/download  
of CAPplus documents for use in third-party analysis and  
visualization tools  
NEWS 8 OCT 27 Free KWIC format extended in full-text databases  
NEWS 9 OCT 27 DIOGENES content streamlined  
NEWS 10 OCT 27 EPFULL enhanced with additional content  
NEWS 11 NOV 14 CA/CAPplus - Expanded coverage of German academic research  
NEWS 12 NOV 30 REGISTRY/ZREGISTRY on STN(R) enhanced with experimental  
spectral property data  
NEWS 13 DEC 05 CASREACT(R) - Over 10 million reactions available  
NEWS 14 DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE  
NEWS 15 DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER  
NEWS 16 DEC 14 CA/CAPplus to be enhanced with updated IPC codes  
NEWS 17 DEC 16 MARPATprev will be removed from STN on December 31, 2005

NEWS EXPRESS DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.  
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT  
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:40:55 ON 19 DEC 2005

Page 2

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:41:02 ON 19 DEC 2005

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STRUCTURE FILE UPDATES: 18 DEC 2005 HIGHEST RN 870123-57-2

DICTIONARY FILE UPDATES: 18 DEC 2005 HIGHEST RN 870123-57-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

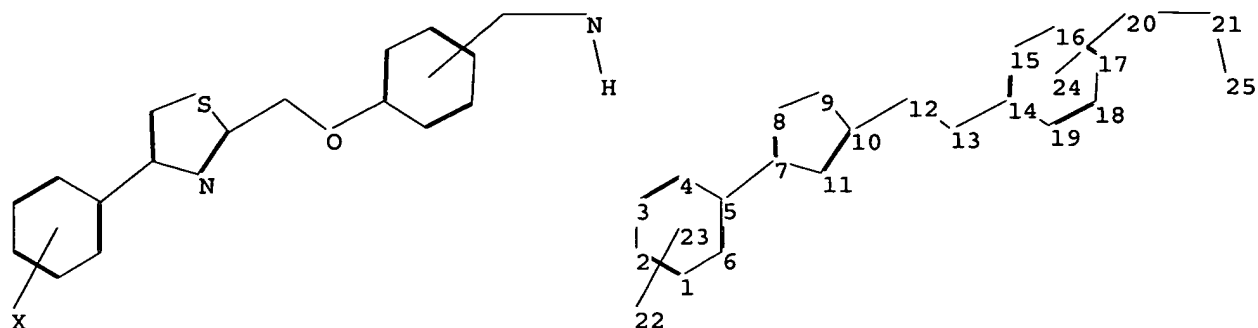
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10612187\Struc 1.str



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chain nodes :
12 13 20 21 22 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 14 15 16 17 18 19
chain bonds :
5-7 10-12 12-13 13-14 20-21 21-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 14-15 14-19 15-16
16-17 17-18 18-19
exact/norm bonds :
7-8 7-11 8-9 9-10 10-11 12-13 13-14 20-21
exact bonds :
5-7 10-12 21-25
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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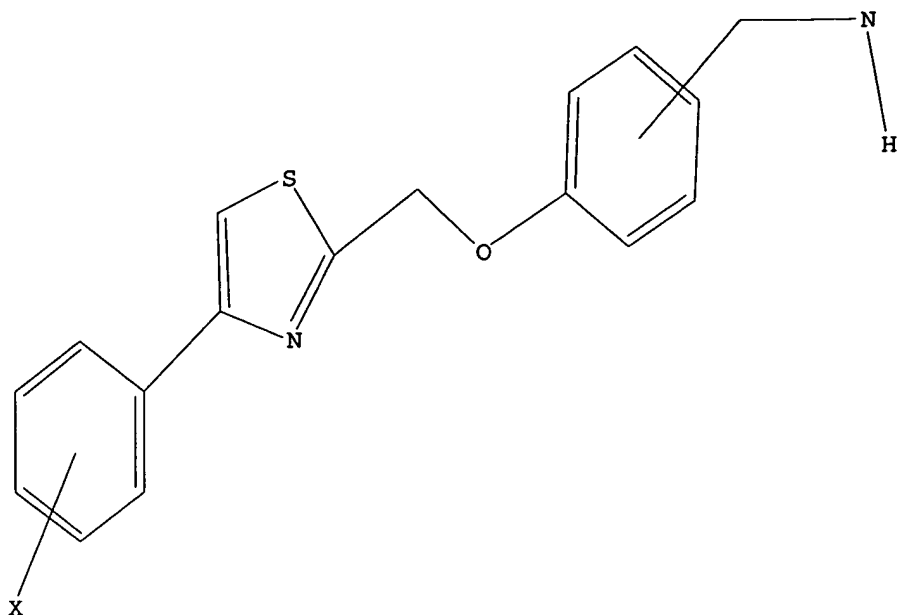
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> l1

SAMPLE SEARCH INITIATED 12:41:17 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 64 TO ITERATE

100.0% PROCESSED 64 ITERATIONS 1 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 800 TO 1760  
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> l1 full

FULL SEARCH INITIATED 12:41:21 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1305 TO ITERATE

100.0% PROCESSED 1305 ITERATIONS 15 ANSWERS  
SEARCH TIME: 00.00.01

L3 15 SEA SSS FUL L1

=> file caplus medline  
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
161.33	161.54

FILE 'CAPLUS' ENTERED AT 12:41:27 ON 19 DEC 2005  
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FILE 'MEDLINE' ENTERED AT 12:41:27 ON 19 DEC 2005

=> l3

L4 1 L3

=> d ti

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

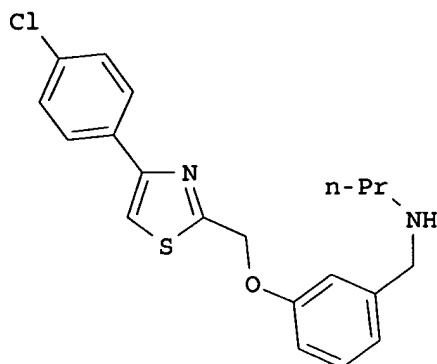
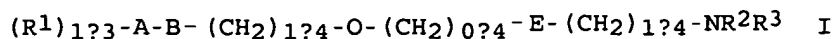
TI Preparation of substituted heteroaryl and heterocyclic compounds useful  
NAD oxidase hydride donor inhibitors

=> d ibib abs hitstr

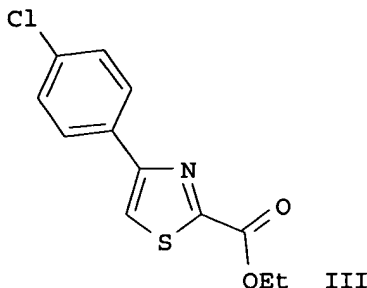
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:41452 CAPLUS  
DOCUMENT NUMBER: 140:111408  
TITLE: Preparation of substituted heteroaryl and heterocyclic  
compounds useful NAD oxidase hydride donor inhibitors  
INVENTOR(S): Beers, Scott  
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005267	A2	20040115	WO 2003-US20781	20030702
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
US 2005014745	A1	20050120	US 2003-612187	20030702
PRIORITY APPLN. INFO.:			US 2002-393710P	P 20020703
OTHER SOURCE(S):			MARPAT 140:111408	
GI				



II



III

**AB** The invention refers to substituted heteroaryl and heterocyclic compds. I [wherein: R<sup>1</sup> is a substituent on the 3, 4 or 5 position of the ring A and R<sup>1</sup> = H, alkyl, alkoxy, NH<sub>2</sub>, NH-alkyl, N(alkyl)<sub>2</sub>, halogen, OH; A, E = phenylene or pyridinylene; B is a monocyclic 5-membered heteroarylene containing N, O, or S, and optionally containing an addnl. N; R<sup>2</sup>, R<sup>3</sup> = H, alkyl-R<sub>4</sub>, cycloalkyl; R<sub>4</sub> = alkoxy, NH<sub>2</sub>, NH-alkyl, N(alkyl)<sub>2</sub>, 1-3 halogen(s), OH, cycloalkyl-R<sub>5</sub>, heterocyclyl-R<sub>5</sub>, (hetero)aryl-R<sub>5</sub>; R<sub>5</sub> = H, 1 or 2 of alkyl or alkoxy] and pharmaceutically acceptable salts thereof useful as NAD oxidase hydride donor inhibitors. Compds. I are claimed to be useful in treating or ameliorating reactive oxygen species-mediated inflammatory disorders such as osteoarthritis and Alzheimer's disease. In an NADPH oxidase assay for inhibition of superoxide-mediated reduction of cytochrome c in human neutrophils incubated with phorbol myristate acetate, 11 compds. I had IC<sub>50</sub> values of 0.04-3.45 μM. For instance, compound II (IC<sub>50</sub> = 1.65 μM) was prepared via heterocyclization of 4-ClC<sub>6</sub>H<sub>5</sub>C(O)CH<sub>2</sub>Br with H<sub>2</sub>NC(S)CO<sub>2</sub>Et, reduction of obtained thiazole III to the appropriate alc. analog, etherification with 3-HOC<sub>6</sub>H<sub>5</sub>CHO, and subsequent reductive amination by propylamine.

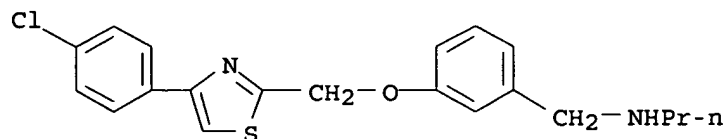
**IT** **646053-15-8P**, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-propylbenzenemethanamine **646053-17-0P**, 4-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-propylbenzenemethanamine **646053-18-1P**, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-(2-methylpropyl)benzenemethanamine **646053-19-2P**, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-cyclopentylbenzenemethanamine **646053-20-5P**, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-cyclohexylbenzenemethanamine **646053-21-6P**, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-cyclopropylbenzenemethanamine **646053-22-7P**, N-[[3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-1-methyl-2-pyrrolidinemethanamine dihydrochloride **646053-23-8P**, 4-[2-[[[3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]amino]ethyl]morpholine dihydrochloride **646053-24-9P**, 4-(4-Chlorophenyl)-2-[[3-[[[5-methyl-2-furanyl]methyl]amino]methyl]phenoxy]methyl]thiazole **646053-25-0P**, N-[[3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-5-methoxy-1H-indole-3-ethanamine hydrochloride **646053-26-1P**, 4-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-cyclopentylbenzenemethanamine **646053-27-2P**, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]benzenemethanamine **646053-30-7P** **646053-31-8P** **646053-32-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted heteroaryl and heterocyclic compds. as NAD oxidase hydride donor inhibitors useful in treating/ameliorating reactive oxygen species-mediated inflammatory disorders)

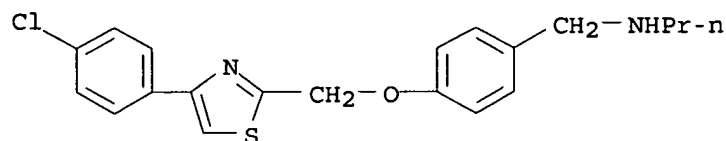
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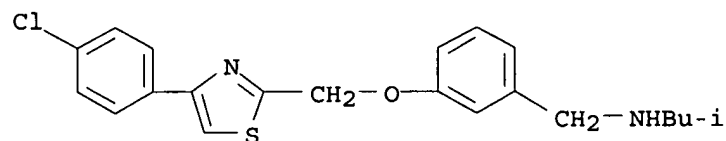
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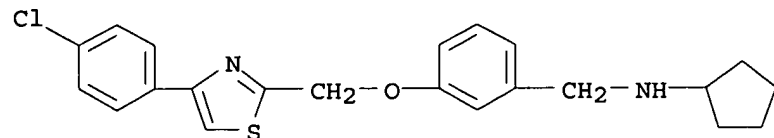
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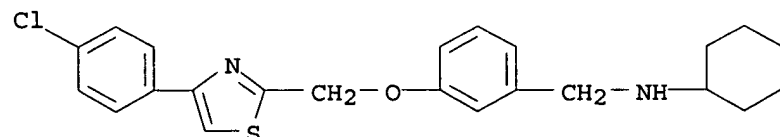
RN 646053-19-2 CAPLUS

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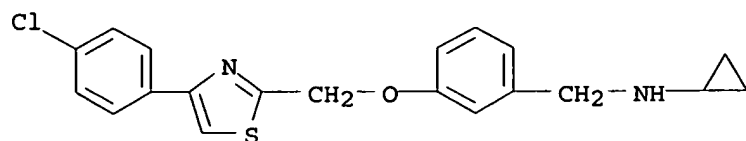
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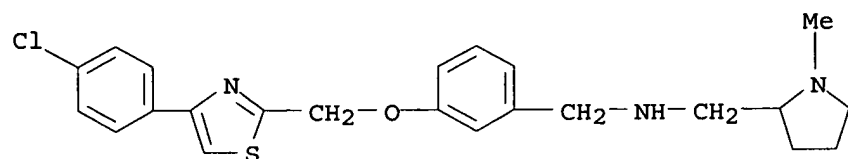
RN 646053-21-6 CAPLUS

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RN 646053-22-7 CAPLUS

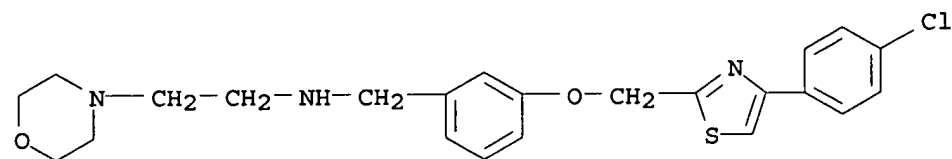
CN 2-Pyrrolidinemethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-1-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 646053-23-8 CAPLUS

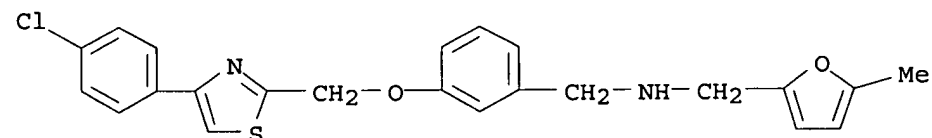
CN 4-Morpholineethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 646053-24-9 CAPLUS

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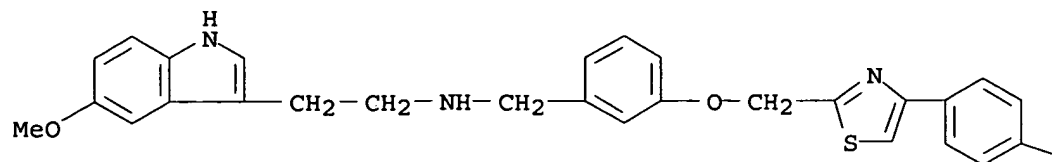


RN 646053-25-0 CAPLUS

CN 1H-Indole-3-ethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-5-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



PAGE 1-A



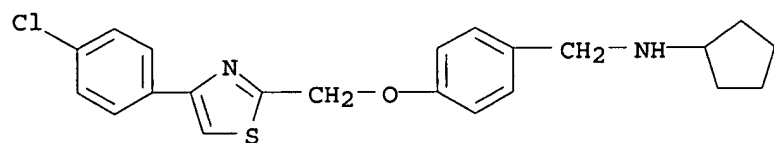
● HCl

PAGE 1-B

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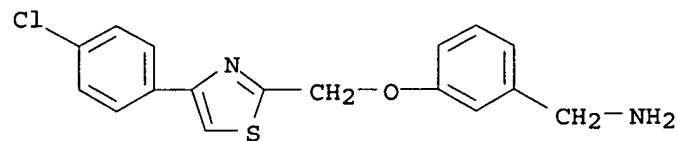
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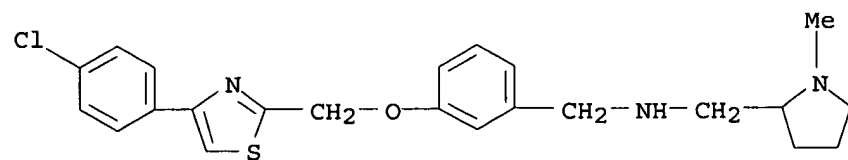
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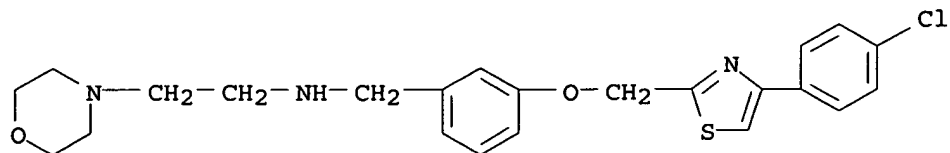


RN 646053-30-7 CAPLUS

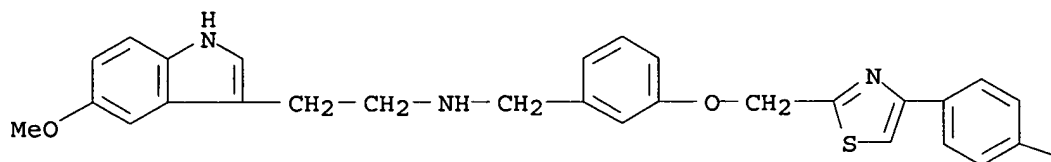
CN 2-Pyrrolidinemethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 646053-31-8 CAPLUS  
 CN 4-Morpholineethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 646053-32-9 CAPLUS  
 CN 1H-Indole-3-ethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-5-methoxy- (9CI) (CA INDEX NAME)



PAGE 1-A

PAGE 1-B

— Cl

=> logoff hold  
 COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
7.74	169.28

SINCE FILE	TOTAL
ENTRY	SESSION
-0.73	-0.73

SESSION WILL BE HELD FOR 60 MINUTES  
 STN INTERNATIONAL SESSION SUSPENDED AT 12:44:48 ON 19 DEC 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAJRK1626

PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \*  
 SESSION RESUMED IN FILE 'CAPLUS, MEDLINE' AT 12:56:03 ON 19 DEC 2005  
 FILE 'CAPLUS' ENTERED AT 12:56:03 ON 19 DEC 2005  
 COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)  
 FILE 'MEDLINE' ENTERED AT 12:56:03 ON 19 DEC 2005

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	7.74	169.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.73	-0.73

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	7.74	169.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.73	-0.73

FILE 'REGISTRY' ENTERED AT 12:56:13 ON 19 DEC 2005  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 18 DEC 2005 HIGHEST RN 870123-57-2  
 DICTIONARY FILE UPDATES: 18 DEC 2005 HIGHEST RN 870123-57-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

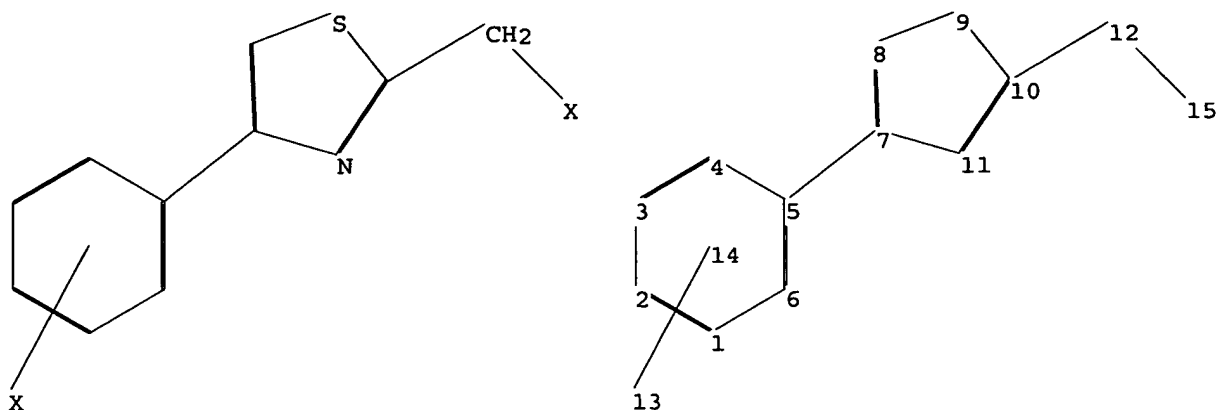
\*\*\*\*\*  
 \*  
 \* The CA roles and document type information have been removed from \*  
 \* the IDE default display format and the ED field has been added, \*  
 \* effective March 20, 2005. A new display format, IDERL, is now \*  
 \* available and contains the CA role and document type information. \*  
 \*  
 \*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
 for details.

REGISTRY includes numerically searchable data for experimental and  
 predicted properties as well as tags indicating availability of  
 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>  
 Uploading C:\Program Files\Stnexp\Queries\10612187\Struc 2.str



```

chain nodes :
12 13 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
5-7 10-12 12-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
7-8 7-11 8-9 9-10 10-11
exact bonds :
5-7 10-12 12-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
  
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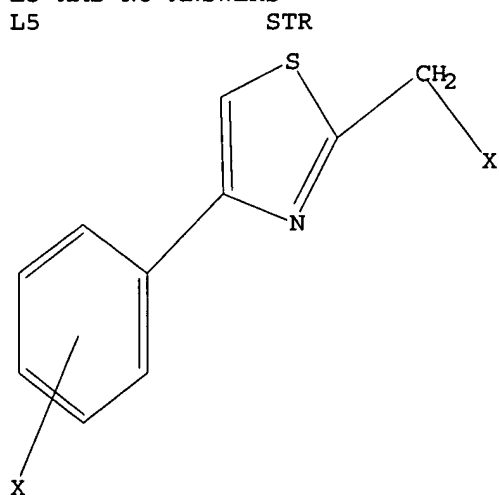
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS
  
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L5 STRUCTURE UPLOADED

```

=> d
L5 HAS NO ANSWERS
L5
  
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Structure attributes must be viewed using STN Express query preparation.

=> 15

SAMPLE SEARCH INITIATED 12:56:28 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 115 TO ITERATE

100.0% PROCESSED 115 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1657 TO 2943  
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> 15 full

FULL SEARCH INITIATED 12:56:34 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 2461 TO ITERATE

100.0% PROCESSED 2461 ITERATIONS 6 ANSWERS  
SEARCH TIME: 00.00.01

L7 6 SEA SSS FUL L5

=> file caplus medline

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	330.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.73

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L8 5 L7

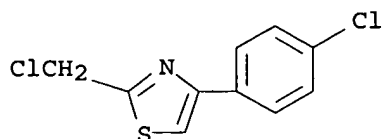
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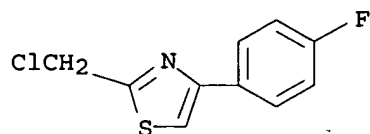
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L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1995:755717 CAPLUS  
DOCUMENT NUMBER: 124:8677  
TITLE: Evolution of a series of non-quinoline leukotriene D4  
receptor antagonist; synthesis and SAR of  
benzothiazoles and thiazoles substituted benzyl  
alcohols as potent LTD4 antagonists  
AUTHOR(S): Lau, C. K.; Dufresne, C.; Gareau, Y.; Zamboni, M.;  
Labelle, R. N.; Young, K. M.; Metters, C.; Rochette,  
N.; Sawyer, D. M.; et al.  
CORPORATE SOURCE: Merck Frosst Cent. Therapeutic Res., Pointe

SOURCE: Claire-Dorval, QC, H94 4P8, Can.  
 Bioorganic & Medicinal Chemistry Letters (1995),  
 5(15), 1615-20  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 124:8677  
 AB Replacement of the quinoline pharmacophore of verlukast by alkylthiazoles and benzothiazoles has lead to the discovery of a new series of potent and orally active LTD4 receptor antagonists. The synthesis and structure activity relationships of this series of compds. are described.  
 IT 170881-67-1P 170881-68-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and SAR of benzothiazoles and thiazoles substituted benzyl alcs. as potent LTD4 antagonists)  
 RN 170881-67-1 CAPLUS  
 CN Thiazole, 2-(chloromethyl)-4-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 170881-68-2 CAPLUS  
 CN Thiazole, 2-(chloromethyl)-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



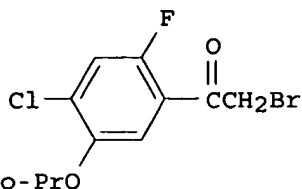
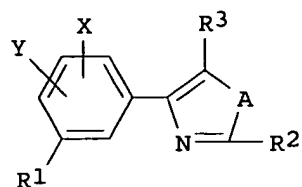
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L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1995:508003 CAPLUS  
 DOCUMENT NUMBER: 122:265364  
 TITLE: Preparation of 4-phenyloxazole and -thiazole derivatives as herbicides  
 INVENTOR(S): Nakanishi, Hiroyuki; Miura, Juzo; Nishioka, Hitoshi; Ootsuka, Takashi  
 PATENT ASSIGNEE(S): Nihon Nohyaku Co Ltd, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 47 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06340643	A2	19941213	JP 1994-89169	19940404
PRIORITY APPLN. INFO.:			JP 1994-89169	A 19940404
			JP 1993-101921	19930404

OTHER SOURCE(S):  
GI

MARPAT 122:265364



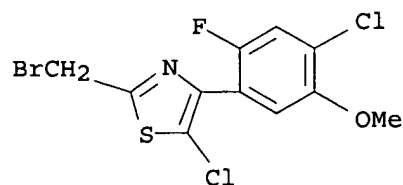
AB The title compds. [I; R1 = halo, NO2, C1-6 alkyl, halosulfonyl, BR4, NR5; wherein B = O, S(O)n (n = 0-2); R4 = H, C1-6 (halo)alkyl, C1-6 hydroxyalkyl, C2-6 (halo)alkenyl, C2-6 (halo)alkynyl, C3-6 (halo)cycloalkyl, etc.; R5 = H, C1-6 (halo)alkyl, C2-6 (halo)alkenyl, C2-6 (halo)alkynyl, C3-6 cycloalkyl, C1-6 (halo)alkylsulfonyl, phenyl-C1-6 alkyl, etc.; R2 = HO, C1-6 (halo)alkyl, C1-6 cycloalkyl, C1-6 (halo)alkoxy, C1-6 alkoxy-C1-6 alkyl, C1-6 alkylthio-C1-6 alkyl; R3 = H, halo; A = O, S; X, Y = halo, C1-6 (halo)alkyl], which show excellent herbicidal activity against post- and preemergence weeds, are prepared Thus, 3.10 g 1-bromo-2-phenyl-2-ethanone derivative (II) and 2.61 g isobutyramide were heated at 150-160° for 5.5 h to give, after silica gel chromatog., 81.9% title compound I (R1 = iso-PrO, R2 = iso-Pr, R3 = H; X, Y = 2-F, 4-Cl). I (R1 = OCH2C.tplbond.CH, R2 = iso-Pr, R3 = Cl; X, Y = 2-F, 4-Cl) at 1 kg/ha postemergence controlled ≥95% Echinochloa crus-galli in a flooded paddy soil and gave no damage to rice. A total of 165 I were prepared

IT 162504-21-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenyloxazole or -thiazole derivative as herbicide)

RN 162504-21-4 CAPLUS

CN Thiazole, 2-(bromomethyl)-5-chloro-4-(4-chloro-2-fluoro-5-methoxyphenyl)-(9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:228903 CAPLUS

DOCUMENT NUMBER: 114:228903

TITLE: Preparation of thiazoles as agrochemical fungicides

INVENTOR(S): Haddock, Ernest; Webb, Suzan Mary

PATENT ASSIGNEE(S): Shell Internationale Research Maatschappij B. V.,  
Neth.

SOURCE: Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

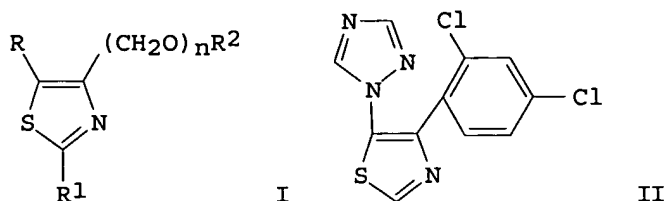
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

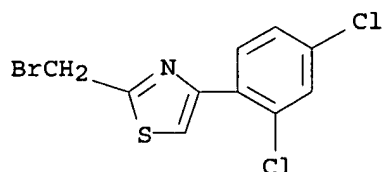
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 411718	A2	19910206	EP 1990-202124	19900803
EP 411718	A3	19910703		
R: CH, DE, FR, GB, IT, LI, NL				
JP 03081268	A2	19910405	JP 1990-205284	19900803
US 5332753	A	19940726	US 1992-938687	19920901
PRIORITY APPLN. INFO.:			GB 1989-17849	A 19890804
			US 1990-560567	B1 19900731
OTHER SOURCE(S):	MARPAT	114:228903		
GI				



AB   Thiazoles I [R = H, (CH<sub>2</sub>)<sub>m</sub>Y where m = 0-2 and Y = (substituted) N-containing heterocycle; R<sub>1</sub> = (CH<sub>2</sub>)<sub>p</sub>X, N(Z)COX, H, (substituted) alkyl, aryl, amino, or aralkyl, p = 0-2, Z = H, alkyl, X = (substituted) N-heterocyclyl; n = 0-2; R<sub>2</sub> = (substituted) Ph] and acid salts, N-oxides, S-oxides and metal salts, were prepared. For example, 2-bromo-2-(1,2,4-triazol-1-yl)-2',4'-dichloroacetophenone (preparation given) was dissolved in EtOH and added to a solution of HC(S)NH<sub>2</sub> in EtOH and the mixture stirred 16 h to give thiazole II in 11% yield. Wheat plants inoculated with *Leptosphaeria nodorum* at 8 + 105 spores/mL then treated with II at 1 kg/ha showed no fungal growth after 5 days.

IT 133767-85-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, in preparation of agrochem. fungicides)  
RN 133767-85-8 CAPLUS  
CN Thiazole, 2-(bromomethyl)-4-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1990:157325 CAPLUS  
DOCUMENT NUMBER: 112:157325  
TITLE: Dithiocarboxylic acids, dithiocarboxylic esters, or  
thiocarboxylic amides by reaction of methylene-active  
chloromethyl compounds with sulfur  
AUTHOR(S): Thiel, W.; Mayer, R.  
CORPORATE SOURCE: Sekt. Chem., Tech. Univ. Dresden, Dresden, DDR-8027,  
Ger. Dem. Rep.  
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1989),  
331(2), 243-62  
CODEN: JPCEAO; ISSN: 0021-8383



DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 OTHER SOURCE(S): CASREACT 112:157325  
 AB With a mixture of S and amine in DMF at room temperature halomethyl compds. can be

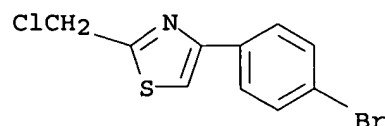
oxidized to give thiocarboxylic acids and their derivs. The reaction was studied in detail especially with chloroacetic derivs. or chloromethyl heterocycles formally derived from chloroacetic acid. The resulting thiooxalic acid derivs. represent activated acids and very useful C2-synthons, especially for the synthesis of heterocycles. Oxidation in the presence of Et<sub>3</sub>N leads to dithiocarboxylates which can be alkylated to dithioesters in high yields. As a rule, with different primary and secondary amines instead of tertiary amines these dithiocarboxylates or dithiocarboxylic esters can be transformed already at low temps. to thioamides.

IT 125983-35-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with sulfur in presence of amine)

RN 125983-35-9 CAPLUS

CN Thiazole, 4-(4-bromophenyl)-2-(chloromethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:112450 CAPLUS

DOCUMENT NUMBER: 108:112450

TITLE: Preparation of imidazolylmethylthiazoles as medical fungicides and bactericides

INVENTOR(S): Takano, Shuntaro; Imaizumi, Hiroyuki; Kajita, Tetsuya; Takashima, Kenichi; Takezawa, Katsushi; Yotsutsuji, Minako; Yasuda, Takashi; Yotsutsuji, Akira; Sakai, Hiroshi; Saikawa, Isamu

PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

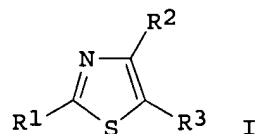
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62178590	A2	19870805	JP 1986-16868	19860130
JP 07025754	B4	19950322		
PRIORITY APPLN. INFO.: GI			JP 1986-16868	19860130

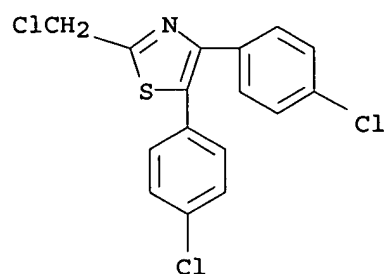


AB The title compds. I [R1-R3 = H, halo, CHO, CO2H (or esters), (un)substituted alkyl, alkenyl, alkynyl, aryl, cycloalkyl, (CH2)nR4 (R4 = imidazolyl, 1,2,4-triazolyl, n = 0 or 1); one of R1-R3 is (CH2)nR4], useful as medical fungicides and bactericides, were prepared A mixture of 630 mg 4-bromomethyl-5-butyl-2-(4-chlorophenyl)thiazole (preparation given) and 595 mg imidazole in 13 mL CHCl3 was refluxed for 1 h to give 78.7% thiazole derivative I [R1 = 4-ClC6H4, R2 = (1H-imidazol-1-yl)methyl, R3 = Bu] (II). II in vitro exhibited a MIC of 6.25 µg/mL against Candida albicans IFO 0583.

IT 113265-00-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediate in preparation of medical fungicide and bactericide)

RN 113265-00-2 CAPLUS

CN Thiazole, 2-(chloromethyl)-4,5-bis(4-chlorophenyl)- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.10	356.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-4.38

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